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 NEWS  2  JUL 28  CA/CAplus patent coverage enhanced
 NEWS  3  JUL 28  EPFULL enhanced with additional legal status
                  information from the epoline Register
 NEWS  4  JUL 28  IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
 NEWS  5  JUL 28  STN Viewer performance improved
 NEWS  6  AUG 01  INPADOCDB and INPAFAMDB coverage enhanced
 NEWS  7  AUG 13  CA/CAplus enhanced with printed Chemical Abstracts
                  page images from 1967-1998
 NEWS  8  AUG 15  CAOLD to be discontinued on December 31, 2008
 NEWS  9  AUG 15  CAplus currency for Korean patents enhanced
 NEWS 10  AUG 27  CAS definition of basic patents expanded to ensure
                  comprehensive access to substance and sequence
                  information
 NEWS 11  SEP 18  Support for STN Express, Versions 6.01 and earlier,
                  to be discontinued
 NEWS 12  SEP 25  CA/CAplus current-awareness alert options enhanced
                  to accommodate supplemental CAS indexing of
                  exemplified prophetic substances
 NEWS 13  SEP 26  WPIDS, WPINDEX, and WPIX coverage of Chinese and
                  and Korean patents enhanced
 NEWS 14  SEP 29  IFICLS enhanced with new super search field
 NEWS 15  SEP 29  EMBASE and EMBAL enhanced with new search and
                  display fields
 NEWS 16  SEP 30  CAS patent coverage enhanced to include exemplified
                  prophetic substances identified in new Japanese-
                  language patents
 NEWS 17  OCT 07  EPFULL enhanced with full implementation of EPC2000
 NEWS 18  OCT 07  Multiple databases enhanced for more flexible patent
                  number searching
 NEWS 19  OCT 22  Current-awareness alert (SDI) setup and editing
                  enhanced
 NEWS 20  OCT 22  WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT
                  Applications
 NEWS 21  OCT 24  CHEMLIST enhanced with intermediate list of
                  pre-registered REACH substances

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DICTIONARY FILE UPDATES:  24 OCT 2008  HIGHEST RN 1065816-63-8

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L1      STRUCTURE UPLOADED

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L2   QUE L1

=> d l2
L2 HAS NO ANSWERS
L1               STR
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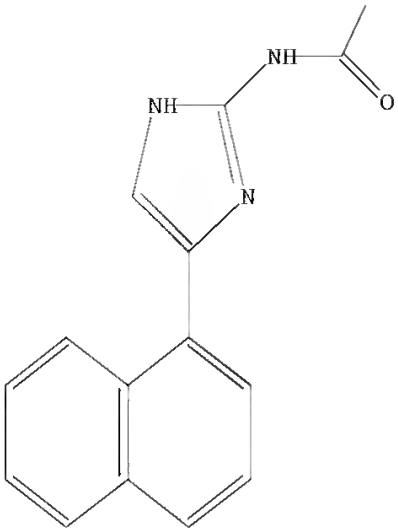

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L2 QUE ABB=ON PLU=ON L1

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FULL SEARCH INITIATED 09:34:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 137 TO ITERATE

100.0% PROCESSED 137 ITERATIONS 14 ANSWERS
SEARCH TIME: 00.00.01

L3 14 SEA SSS FUL L1

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FILE COVERS 1907 - 27 Oct 2008 VOL 149 ISS 18
FILE LAST UPDATED: 26 Oct 2008 (20081026/ED)

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reclassification data for the second quarter of 2008.

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They are available for your review at:

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L4 10 L3

=> d l4 1-10 ibib ab hitstr

L4 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:590502 CAPLUS
DOCUMENT NUMBER: 148:561920
TITLE: N-Heteroaryl carboxamides as modulators of glucocorticoid receptor, AP-1, and/or NF-κB activity and their preparation, pharmaceutical compositions and use in the treatment of diseases
INVENTOR(S): Yang, Bingwei Vera; Doweyko, Lidia M.; Vaccaro, Wayne; Huynh, Tram N.; Tortolani, David R.; Dhar, T. g. Murali
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 177pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008057862	A2	20080515	WO 2007-US83094	20071031

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

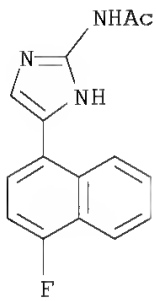
PRIORITY APPLN. INFO.: US 2006-855950P P 20061101
OTHER SOURCE(S): MARPAT 148:561920

AB Non-steroidal compds. are provided which are useful in treating diseases associated with modulation of the glucocorticoid receptor, AP-1, and/or NF-κB activity including inflammatory and immune diseases, obesity and diabetes having the structure of formula I an enantiomer, diastereomer, tautomer, solvate (e.g. a hydrate), or a pharmaceutically-acceptable salt, thereof. Also provided are pharmaceutical compns. and methods of treating metabolic and inflammatory- or immune-associated diseases or disorders using said compds. Compds. of formula I wherein M is (un)substituted alkyl, cycloalkyl, (hetero)aryl and heterocyclyl; Ma and Za are independently a bond and C1-3 alkylene; Q is H, (un)substituted C1-4 alkyl; Q and R6 taken together to form a 3- to 6-membered cycloalkyl; Q and M taken together to form a 3- to 7-membered heterocyclic ring; Z is cycloalkyl, heterocyclyl and (hetero)aryl; R1 - R4 are independently H, halo, (un)substituted alkyl, (un)substituted alkenyl,

(un)substituted alkynyl, NO2, CN, OH and derivs., etc.; R6 is (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, CHO, acyl, CO2H and derivs., etc.; R7 is halo, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, NO2, CN, OH and derivs., etc.; R22 is H, (un)substituted alkyl, CO-alkyl, CO2-alkyl, SO2-alkyl, alkoxy, (un)substituted amino, (hetero)aryl, heterocyclyl, and cycloalkyl; and their enantiomers, diastereoisomers, and pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by amidation of 2,2-diphenyl-1-methylcyclopropane-1-carboxylic acid with 2-aminothiazole. All the invention compds. were evaluated for their GR and AP-1 modulatory activity. From the assay, it was determined that compound II exhibited Ki value of 103.8 % RBA.

IT 650626-13-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of non-steroidal N-heteroaryl carboxamides as modulators of glucocorticoid receptor, AP-1 and NF-κB useful in treatment of diseases)

RN 650626-13-4 CAPLUS
CN Acetamide, N-[5-(4-fluoro-1-naphthalenyl)-1H-imidazol-2-yl]- (CA INDEX NAME)



L4 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:224089 CAPLUS
DOCUMENT NUMBER: 148:285174
TITLE: Preparation of xanthenes, thioxanthenes and benzopyranopyridines, and related analogs as modulators of glucocorticoid receptor, ap-1, and/or nf-kb activity and use thereof
INVENTOR(S): Weinstein, David S.; Gong, Hua; Duan, Jingwu; Dhar, T. g. Murali; Yang, Bingwei Vera; Chen, Ping; Jiang, Bin
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 349pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008021926	A2	20080221	WO 2007-US75543	20070809
WO 2008021926	A3	20080522		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,

GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-836496P P 20060809
US 2007-835438 A 20070808

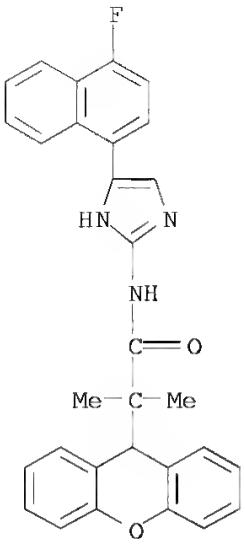
OTHER SOURCE(S): MARPAT 148:285174

AB Novel non-steroidal compds. I [A = 5-8 membered carbocyclic or heterocyclic ring; B = cycloalkyl, cycloalkenyl, aryl, heterocyclo ring, and heteroaryl ring, wherein the B ring is fused to the A ring, and the B ring is optionally substituted with R5-8; X, Y, and Z independently = -A1QA2-; Q independently = bond, O, S, S(O), and S(O)2; A1 and A2 independently = bond, (un)substituted alkylene, alkenylene with provisions; R1-8 independently = H, halo, (un)substituted alkyl, etc.; R9 and R10 independently = H, halo, (un)substituted alkyl, alkenyl, alkynyl, etc.; R11 = H, alkoxy, aryl, (un)substituted alkyl, etc.; R12 = heterocyclo, heteroaryl and CN], and their pharmaceutically acceptable salts are prepared and disclosed as useful in treating diseases associated with modulation of the glucocorticoid receptor, AP-1, and/or NF-KB activity, including inflammatory and immune diseases. Thus, e.g., II was prepared by amidation of xanthen-9-ylacetic acid (preparation given) with 2-amino-5-(4-pyridin-4-ylbenzyl)thiazole (preparation given). Assays for determining ap-1 activity are described, e.g., II demonstrated an IC50 value of 156.9 nM. Also provided are pharmaceutical compns. and methods of treating inflammatory- or immune-associated diseases and obesity and diabetes employing said compds.

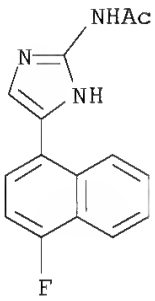
IT 1008113-59-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of xanthenes and thioxanthenes and related analogs as modulators of glucocorticoid receptor, ap-1, and/or nf-kb activity and use thereof)

RN 1008113-59-4 CAPLUS

CN 9H-Xanthene-9-acetamide, N-[5-(4-fluoro-1-naphthalenyl)-1H-imidazol-2-yl]-α,α-dimethyl- (CA INDEX NAME)



IT 650626-13-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of xanthenes and thioxanthenes and related analogs as modulators of glucocorticoid receptor, ap-1, and/or nf-kb activity and use thereof)
RN 650626-13-4 CAPLUS
CN Acetamide, N-[5-(4-fluoro-1-naphthalenyl)-1H-imidazol-2-yl]- (CA INDEX NAME)



L4 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:732644 CAPLUS
DOCUMENT NUMBER: 143:211899
TITLE: Preparation of heterocyclic bicyclooctylcarboxamide derivatives as modulators of glucocorticoid receptor, AP-1, and/or NF-κB
INVENTOR(S): Weinstein, David S.; Sheppeck, James; Gilmore, John L.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005073221	A1	20050811	WO 2005-US1293	20050114
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050182083	A1	20050818	US 2005-35290	20050113
EP 1711488	A1	20061018	EP 2005-711486	20050114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				

PRIORITY APPLN. INFO.:

US 2004-537048P	P	20040116
US 2005-35290	A	20050113
WO 2005-US1293	W	20050114

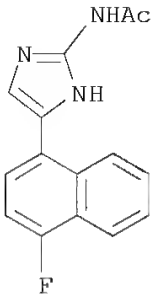
OTHER SOURCE(S): CASREACT 143:211899; MARPAT 143:211899

AB Title compds. I [Y and W independently = C or N; X = CR3R4; R = H, alkyl, aryl, etc.; R1 = H, halo, alkenyl, etc.; R2 = H, alkoxy, aryloxy, etc.; R3 and R4 independently = H, alkenyl, alkoxy, etc. or R3 and R4 may optionally be taken together with the carbon that they are attached to form a 3-7 membered ring which may optionally include an O or N atom; Z = CONR5R6, CH2NR5R6, SONR5R6, etc.; R5 and R6 independently = H, amino, heteroaryl, etc.; one of A and B = (un)substituted heterocycle and the other = (un)substituted carbocycle or heterocycle with provisions] and their pharmaceutically acceptable salts, are prepared and disclosed as modulators of glucocorticoid receptor, AP-1, and/or NF-κB. Thus, e.g., II was prepared by amidation of III (preparation given) with 4-(4-fluoronaphthalen-1-yl)-thiazol-2-ylamine. The activity of I to inhibit AP-1 was evaluated using cellular transrepressional assays and it was revealed that compds. of the invention possessed an EC50 value of less than 15 μM. I as modulator of glucocorticoid receptor, AP-1, and/or NF-κB should prove useful in the treatment of obesity, diabetes and inflammatory or immune associated diseases. Pharmaceutical compns. comprising I are disclosed.

IT 650626-13-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic bicyclooctylcarboxamide derivs. as modulators of glucocorticoid receptor, AP-1, and/or NF-κB)

RN 650626-13-4 CAPLUS

CN Acetamide, N-[5-(4-fluoro-1-naphthalenyl)-1H-imidazol-2-yl]- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:732507 CAPLUS
DOCUMENT NUMBER: 143:211915
TITLE: Preparation of azolylamino benzobicyclooctanecarboxamides as modulators of activator protein-1 (AP-1) and/or NF-κB activity.
INVENTOR(S): Weinstein, David S.; Yang, Bingwei Vera; Kim, Soong-Hoon; Vaccaro, Wayne; Sheppeck, James; Gilmore, John
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005072132	A2	20050811	WO 2005-US1180	20050114
WO 2005072132	A3	20060302		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM				
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US 20050187242	A1	20050825	US 2005-35176	20050113
US 7253283	B2	20070807		
EP 1703797	A2	20060927	EP 2005-705688	20050114
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US 20070270453	A1	20071122	US 2007-773506	20070705

PRIORITY APPLN. INFO.:
US 2004-537469P P 20040116
US 2005-35176 A 20050113
WO 2005-US1180 W 20050114

OTHER SOURCE(S): CASREACT 143:211915; MARPAT 143:211915

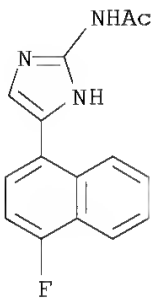
AB Title compds. [I; dotted line = optional double bond; m, n = 1, 2; J, K =

C, N, O, S; R = H, alkyl, alkenyl, alkynyl, alkoxy, cyano, aryl, aryloxy, heteroaryl, amino, etc.; R1 = H, halo, alkyl, alkenyl, alkynyl, cyano, cyanoalkyl, hydroxyaryl, NO2, amino, aryl, heteroaryl, etc.; R2 = H, alkyl, alkenyl, alkynyl, alkoxy, aryl, aryloxy, cyano, halo, NO2, cyanoalkyl, etc.; R3, R4 = H, alkyl, alkenyl, alkynyl, aryl, OH, heteroaryl, hydroxyaryl, aryloxyalkyl, etc.; R3R4 = atoms to form a 3-7 membered ring; R5, R6 = H, halo, OH, alkyl, alkenyl, alkynyl, alkoxy, aryl, aralkyl, aryloxy, heteroaryl, cyano, cyanoalkyl, NO2, amino, etc.; B = (substituted) carbocyclyl, heterocyclyl], were prepared Thus, title compound (II) was prepared in 21% yield via coupling of the corresponding bicyclooctanecarboxylic acid and thiazolylamine in the presence of HOAt/EDC/Et3N in MeCN at 85° for 5 h. I have glucocorticoid receptor/dexamethasone inhibition activity (>95% at 10 μM) and/or AP-1 inhibition activity (EC50 <15 μM).

IT 650626-13-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azolylamino benzobicyclooctanecarboxamides as modulators of AP-1 and/or NF-κB activity)

RN 650626-13-4 CAPLUS

CN Acetamide, N-[5-(4-fluoro-1-naphthalenyl)-1H-imidazol-2-yl]- (CA INDEX NAME)



L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:729531 CAPLUS

DOCUMENT NUMBER: 143:211914

TITLE: Preparation of azolylamino benzopyridobicyclooctanecarboxamides and dipyridobicyclooctanecarboxamides as modulators of activator protein 1 (AP-1) and/or NF-κB activity.

INVENTOR(S): Duan, Jingwu; Sheppeck, James; Jiang, Bin; Gilmore, John L.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005072732	A1	20050811	WO 2005-US1181	20050114

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

US 20050182082	A1	20050818	US 2005-34822	20050113
EP 1708701	A1	20061011	EP 2005-711446	20050114

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, PL, SK, HR,
IS, YU

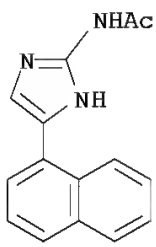
PRIORITY APPLN. INFO.:	US 2004-537437P	P	20040116
	US 2005-34822	A	20050113
	WO 2005-US1181	W	20050114

OTHER SOURCE(S): CASREACT 143:211914; MARPAT 143:211914

AB Title compds. [I; R = H, OH, alkyl, alkenyl, alkynyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, etc.; R1, R2 = H, halo, OH, alkyl, alkenyl, alkynyl, aryl, aryloxy, heteroaryl, cyano, hydroxyaryl, hydroxyalkyl, etc.; R3, R4 = H, alkyl, alkenyl, alkynyl, alkoxy, amino, aryl, OH, aryloxy, heteroaryl, etc.; Z = (substituted) aminomethyl, aminocarbonyl, aminosulfonyl, aminosulfinyl; dotted lines = optional double bonds; X1-X8 = CR15, CR16R17, N, NR18; R15-R17 = H, halo, OH, alkyl, alkenyl, alkynyl, alkoxy, aryl, aryloxy, heteroaryl, cyano, CO2H, CH2OH, etc.; R16R17 = O; R18 = H, aryl, alkyl, alkenyl, alkynyl, alkoxy, amino, heteroaryl, cycloalkyl, etc.; with provisos], were prepared Thus, title compound (II) was prepared in 7% yield via coupling of the corresponding acid and amine using EDC/HOBt/DIEPA in MeCN at 70° for 17 h. I showed glucocorticoid receptor/dexamethasone inhibition activity (>95% at 10 μM) and/or AP-1 inhibitory activity (EC50 <15 μM).

IT 842154-93-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azolylamino benzopyridobicyclooctanecarboxamides and dipyridobicyclooctanecarboxamides as modulators of AP-1 and/or NF-κB activity)

RN 842154-93-2 CAPLUS
CN Acetamide, N-[5-(1-naphthalenyl)-1H-imidazol-2-yl]- (CA INDEX NAME)



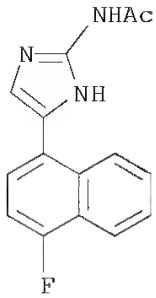
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:729529 CAPLUS
DOCUMENT NUMBER: 143:211913
TITLE: Preparation of bis(aryl)tricyclic modulators of glucocorticoid receptor, AP-1, and/or NFκB

activity.
INVENTOR(S): Yang, Bingwei Vera
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005072729	A1	20050811	WO 2005-US1229	20050114
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050182110	A1	20050818	US 2005-35119	20050113
US 7326728	B2	20080205		
EP 1708699	A1	20061011	EP 2005-711468	20050114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				

PRIORITY APPLN. INFO.: US 2004-537470P P 20040116
WO 2005-US1229 W 20050114
OTHER SOURCE(S): CASREACT 143:211913; MARPAT 143:211913
AB Title compds. I [R = H, alk(en/yn)yl, cycloalkyl, etc.; R' = H, alk(en/yn)yl, cycloalkyl, etc.; R1-2 = H, halo, OH, etc.; R3-4 = H, alkyl, alk(en/yn)yl, alkoxy, etc.; Z = SO1-2-amino, carboxamido, etc.; A, B = (un)saturated 6-membered carbocyclic, heterocyclic ring] are prepared For instance II is prepared in several steps from 9-nitroanthracene, Me 2-acetamidoacrylate and 2-amino-4-(naphthalen-1-yl)imidazole. I are glucocorticoid receptor modulators and are useful for the treatment of diseases associated with AP-1 or NF-κB-induced transcription [no data].
IT 650626-13-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bis(aryl)tricyclic imidazole/thiazole derivative modulators of
glucocorticoid receptor, AP-1, and/or NFκB activity)
RN 650626-13-4 CAPLUS
CN Acetamide, N-[5-(4-fluoro-1-naphthalenyl)-1H-imidazol-2-yl]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:696690 CAPLUS
DOCUMENT NUMBER: 143:186790
TITLE: Fused aryl and heteroaryl bicyclo[2.2.2]octane derivative modulators of the glucocorticoid receptor, AP-1, and/or NF-κB activity, and therapeutic use thereof
INVENTOR(S): Duan, Jingwu; Jiang, Bin; Sheppeck, James; Gilmore, John L.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005070207	A1	20050804	WO 2005-US1411	20050114
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050176716	A1	20050811	US 2005-34652	20050113
EP 1705990	A1	20061004	EP 2005-711524	20050114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU				

PRIORITY APPLN. INFO.:	US 2004-537467P	P	20040116
	US 2005-34652	A	20050113
	WO 2005-US1411	W	20050114

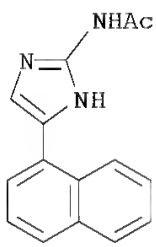
OTHER SOURCE(S): MARPAT 143:186790

AB A class of non-steroidal compds. are provided which are useful in treating diseases associated with modulation of the glucocorticoid receptor, AP-1, and/or NF-κB activity including obesity, diabetes, inflammatory and immune diseases. The compds. of the invention are fused aryl and heteroaryl bicyclo[2.2.2]octane derivs. I [R = H, OH, alkyl, etc.; Ra, Rb

= H, halo, OH, alkyl, etc.; Rc, Rd = H, alkyl, alkenyl, etc.; Z = S(O)tNR1R2, CONR1R2, CH2NR1R2; t = 1,2; R1, R2 = H, alkyl, etc.; X1-X8 = CR15, NR18, etc.; R15 = H, halo, OH, etc.; R18 = H, aryl, alkyl, etc.]. Also provided are pharmaceutical compns. and methods comprising the above compds. for treating obesity, diabetes and inflammatory or immune-associated diseases. Compound preparation is included.

IT 842154-93-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(fused aryl and heteroaryl bicyclo[2.2.2]octane derivative modulators of glucocorticoid receptor, AP-1, and/or NF-κB activity, and therapeutic use)

RN 842154-93-2 CAPLUS
CN Acetamide, N-[5-(1-naphthalenyl)-1H-imidazol-2-yl]- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:120898 CAPLUS
DOCUMENT NUMBER: 142:219297
TITLE: Preparation of pyrimidine analogs as 5-HT2b receptor antagonists
INVENTOR(S): Borman, Richard Anthony; Coleman, Robert Alexander; Clark, Kenneth Lyle; Oxford, Alexander William; Hynd, George; Archer, Janet Ann; Aley, Amanda; Harris, Neil Victor
PATENT ASSIGNEE(S): Pharmagene Laboratories Limited, UK
SOURCE: PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012263	A1	20050210	WO 2004-GB3184	20040723

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

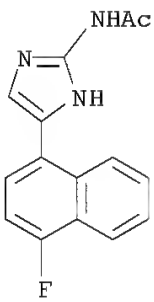
CA 2532505 A1 20050210 CA 2004-2532505 20040723
EP 1648876 A1 20060426 EP 2004-743517 20040723
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
JP 2006528617 T 20061221 JP 2006-520897 20040723
PRIORITY APPLN. INFO.: GB 2003-17346 A 20030724
US 2003-490286P P 20030728
WO 2004-GB3184 W 20040723

OTHER SOURCE(S): CASREACT 142:219297; MARPAT 142:219297

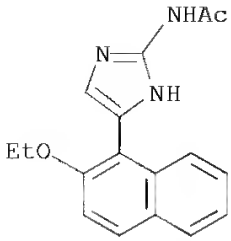
AB Title compds. represented by the formula I [wherein X = O or NH; R1 = (un)substituted aryl; R2, R3 = independently H, (un)substituted (cyclo)alkyl, cycloalkylalkyl, phenylalkyl; R4, R5 = independently H, (un)substituted (phenyl)alkyl, sulfonylalkyl, carbonylalkyl, alkylamino or R4R5 = (un)substituted heterocyclic group; and pharmaceutically acceptable salts or solvates thereof], and 3 addnl. Markush structures, were prepared as 5-HT2b receptor agonists. For example, reaction of 2-amino-4-chloro-6-methylpyrimidine with aniline in the microwave cavity gave II. I were tested for binding activity of 5-HT2A, 5-HT2B and 5-HT2C. Thus, I and their pharmaceutical compns. are useful for the treatment of a condition alleviated by antagonism of a 5-HT2B receptor, such as digestive tract disease (no data).

IT 650626-13-4P 842154-69-2P 842154-70-5P
842154-71-6P 842154-77-2P 842154-80-7P
842154-83-0P 842154-85-2P 842154-87-4P
842154-91-0P 842154-93-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of pyrimidinyl, imidazolyl, oxazolyl and triazolyl amine derivs. as 5-HT2b receptor antagonists)

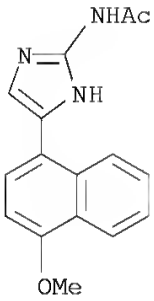
RN 650626-13-4 CAPLUS
CN Acetamide, N-[5-(4-fluoro-1-naphthalenyl)-1H-imidazol-2-yl]- (CA INDEX NAME)



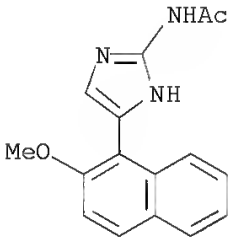
RN 842154-69-2 CAPLUS
CN Acetamide, N-[5-(2-ethoxy-1-naphthalenyl)-1H-imidazol-2-yl]- (CA INDEX NAME)



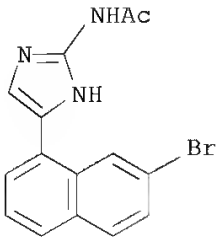
RN 842154-70-5 CAPLUS
CN Acetamide, N-[5-(4-methoxy-1-naphthalenyl)-1H-imidazol-2-yl]- (CA INDEX NAME)



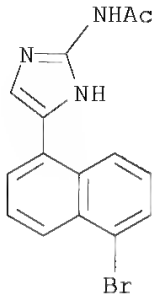
RN 842154-71-6 CAPLUS
CN Acetamide, N-[5-(2-methoxy-1-naphthalenyl)-1H-imidazol-2-yl]- (CA INDEX NAME)



RN 842154-77-2 CAPLUS
CN Acetamide, N-[5-(7-bromo-1-naphthalenyl)-1H-imidazol-2-yl]- (CA INDEX NAME)



RN 842154-80-7 CAPLUS
CN Acetamide, N-[5-(5-bromo-1-naphthalenyl)-1H-imidazol-2-yl]- (CA INDEX NAME)



RN 842154-83-0 CAPLUS
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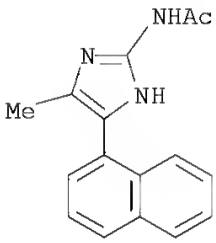

RN 842154-85-2 CAPLUS
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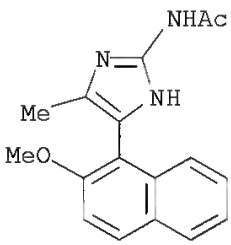
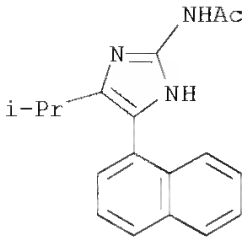
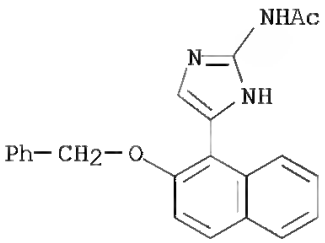


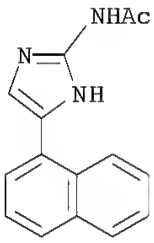
RN 842154-87-4 CAPLUS
CN Acetamide, N-[4-(1-methylethyl)-5-(1-naphthalenyl)-1H-imidazol-2-yl]- (CA INDEX NAME)



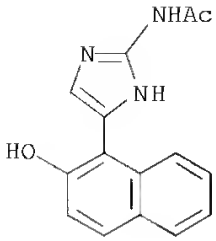
RN 842154-91-0 CAPLUS
CN Acetamide, N-[5-[2-(phenylmethoxy)-1-naphthalenyl]-1H-imidazol-2-yl]- (CA INDEX NAME)



RN 842154-93-2 CAPLUS
CN Acetamide, N-[5-(1-naphthalenyl)-1H-imidazol-2-yl]- (CA INDEX NAME)



IT 842154-99-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidinyl, imidazolyl, oxazolyl and triazolyl amine derivs. as 5-HT2b receptor antagonists)
RN 842154-99-8 CAPLUS
CN Acetamide, N-[5-(2-hydroxy-1-naphthalenyl)-1H-imidazol-2-yl]- (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:80450 CAPLUS
DOCUMENT NUMBER: 140:145835
TITLE: Preparation of dibenzofused bicyclo[2.2.2]octane-derived amides as modulators of the glucocorticoid receptor
INVENTOR(S): Vaccaro, Wayne; Yang, Bingwei Vera; Kim, Soong-hoon; Huynh, Tram; Tortolani, David R.; Leavitt, Kenneth J.; Li, Wenying; Doweyko, Arthur M.; Chen, Xiao-tao; Doweyko, Lidia
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; et al.
SOURCE: PCT Int. Appl., 265 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009017	A2	20040129	WO 2003-US22300	20030717
WO 2004009017	A3	20040708		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003251970	A1	20040209	AU 2003-251970	20030717
US 20040132758	A1	20040708	US 2003-621909	20030717
US 6995181	B2	20060207		
EP 1534273	A2	20050601	EP 2003-765638	20030717
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006508042	T	20060309	JP 2004-523482	20030717
NO 2005000074	A	20050309	NO 2005-74	20050106
US 20050171136	A1	20050804	US 2005-85347	20050321
PRIORITY APPLN. INFO.:			US 2002-396877P	P 20020718
			US 2003-621909	A1 20030717
			WO 2003-US22300	W 20030717

OTHER SOURCE(S): MARPAT 140:145835
AB Title compds. I [R-R4 = H, alk(en/yn)yl, alkoxy, aryl, etc.; Z = carboxamido, alkylamino, etc.] are prepared For instance,

2-amino-4,5-dimethylthiazole is coupled to the acid derived from the cycloaddn. of methacrylic acid and anthracene (CH3CN, EDCI, Et3N, HOAt, 18 h) to give II. I are glucocorticoid receptor modulators which are useful in treating diseases requiring glucocorticoid receptor agonist or antagonist therapy such as obesity, diabetes, inflammatory and immune disorders.

IT 650626-13-4 650626-17-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of dibenzofused bicyclo[2.2.2]octane-derived amides as modulators of glucocorticoid receptor)

RN 650626-13-4 CAPLUS
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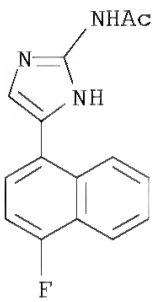
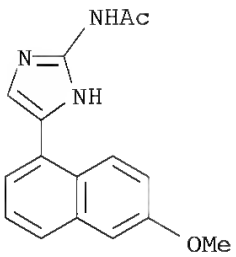


RN 650626-17-8 CAPLUS
CN Acetamide, N-[5-(6-methoxy-1-naphthalenyl)-1H-imidazol-2-yl]- (CA INDEX NAME)



L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:80449 CAPLUS
DOCUMENT NUMBER: 140:157927
TITLE: Homology modeling of nuclear hormone receptor Site II and design of Site II ligands
INVENTOR(S): Doweyko, Arthur; Nadler, Steven G.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 276 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2004009016 A2 20040129 WO 2003-US22299 20030717
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1575502 A2 20050921 EP 2003-765637 20030717
EP 1575502 A3 20051123
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
US 20060223110 A1 20061005 US 2003-621807 20030717
PRIORITY APPLN. INFO.: US 2002-396907P P 20020718
WO 2003-US22299 W 20030717

AB A binding site in nuclear hormone receptors is described and its structural coordinates are provided. The invention provides machine-readable data storage media comprising structure coordinates of Site II and computer systems comprising the machine-readable data storage media. The invention provides methods used in the design and identification of ligands of Site II and of modulators of nuclear hormone receptors. The invention provides ligands of Site II, modulators of NHRs, pharmaceutical compns. comprising modulators of NHRs, methods of modulating NHRs, and methods of treating diseases by administering modulators of an NHR. Also provided are methods of designing mutants, mutant NHRs, Site II binding assays, and models of Site II.

IT 650626-13-4P 650626-17-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(homol. modeling of nuclear hormone receptor Site II in ligand binding domain and design of Site II ligands)

RN 650626-13-4 CAPLUS
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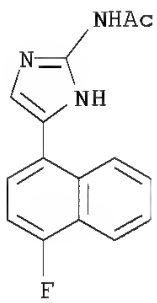

RN 650626-17-8 CAPLUS
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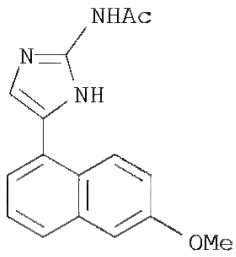


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FILE LAST UPDATED: 26 Oct 2008  (20081026/ED)

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        928462 "RECEPTOR"
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        181780 "ANTAGONIST"
        135446 "ANTAGONISTS"
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                 ("ANTAGONIST" OR "ANTAGONISTS")
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L7           0 5H2B

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L8          25 5-HYDROXYTRPTAMINE
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=> s l8 and antagonist
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        135446 ANTAGONISTS
        247189 ANTAGONIST
                 (ANTAGONIST OR ANTAGONISTS)
L9           4 L8 AND ANTAGONIST

=> d l9 1-4 ibib ab

L9   ANSWER 1 OF 4  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:        1999:617552  CAPLUS
TITLE:                   Selective 5-HT4 receptor ligands.
AUTHOR(S):               Eglen, Richard M.; Clark, Robin D.
CORPORATE SOURCE:        Neurobiology Unit, Roche Bioscience, Palo Alto, CA,
                         94304, USA
SOURCE:                  Book of Abstracts, 218th ACS National Meeting, New
                         Orleans, Aug. 22-26 (1999), MEDI-179.  American
                         Chemical Society: Washington, D. C.
                         CODEN: 67ZJA5
DOCUMENT TYPE:           Conference; Meeting Abstract
LANGUAGE:                English
AB   5-hydroxytrptamine (5-HT)4 receptors mediate several
     actions of 5-HT in the central and peripheral nervous systems.
     Therapeutically, several selective agonists and antagonists are
     now in preclin. and clin. development fordiseases ranging from cognition
     and gastroesophageal reflux disease (agonists) to irritable bowel disease
     or atrial arrhythmia (antagonists).  High affinity esters have
     been discovered, although these initially suffered from pharmacokinetic
     problems.  These have now been overcome and several potent orally
     bioavailable compds. have been produced from different chemical series.  This
     presentation will review the current compds. under development.  It will
     also discuss a pharmacophore model for both agonist andantagonist
     interaction at the receptor.  Unlike the 5-HT3 receptor antagonist
     field, there are striking similarities in the manner of agonist and
     antagonist binding to the 5-HT4 receptor.

L9   ANSWER 2 OF 4  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:        1994:644941  CAPLUS
DOCUMENT NUMBER:         121:244941
ORIGINAL REFERENCE NO.:  121:44403a,44406a
TITLE:                   Differential functional activity of
                         5-hydroxytryptamine receptor ligands and beta
```

adrenergic receptor antagonists at 5-hydroxytryptamine1B receptor sites in Chinese hamster lung fibroblasts and opossum renal epithelial cells

AUTHOR(S): Pauwels, Petrus J.; Palmier, Christiane

CORPORATE SOURCE: Lab. Cell. Neurobiol., Cent. Recherche Pierre Fabre, Castres, 81106, Fr.

SOURCE: Journal of Pharmacology and Experimental Therapeutics (1994), 270(3), 938-45
CODEN: JPETAB; ISSN: 0022-3565

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Functional activity of 5-hydroxytrptamine (5-HT) receptor ligands and beta adrenergic receptor antagonists was studied at 5-HT1B receptor sites in Chinese hamster lung (CHL) fibroblasts by measuring two cellular responses: inhibition of forskolin-stimulated cAMP formation and potentiation of basic fibroblast growth (BFGF) induced mitogenesis. A good correlation was found between the potency of agonists to inhibit forskolin-induced cAMP formation and their potency to potentiate bFGF-induced thymidine incorporation in CHL fibroblasts. Potent agonist activity was measured with 5-methoxy-3,1,2,3,6-tetrahydro-4-pyridinyl-1H-indole (RU 24,969), 5-carboxamidotryptamine (5-CT), 3-(1,2,5,6)-tetrahydro-4-pyridyl-5-pyrrolo(3,2-b)pyril-5-one (CP 93,129) and 5-HT, whereas sumatriptan displayed weak agonist activity at concns. different from its binding affinity for 5-HT1B binding sites. In contrast to the observed 5-HT1B receptor-mediated agonist activity in opossum kidney cells for metergoline and the beta adrenergic receptor antagonists: cyanopindolol, 4-(3-tert-butyl-amino-2-hydroxypropoxy)-indole-2 carbonic acid iso-Pr ester (SDZ 21,009), isamoltane, (-)-propranolol and (-)-pindolol, antagonist activity at 5-HT1B receptor sites was yielded in CHL fibroblasts in accordance with the reported observations at rat brain 5-HT1B receptors. Methiothepin was the only compound that antagonized both the opossum kidney cell and CHL fibroblast 5-HT1B receptor-mediated responses although the antagonist effect was more pronounced in CHL fibroblasts. In conclusion, both 5-HT1B receptor cell systems allow to measure different degrees of agonist or antagonist potencies of compds. and are particularly useful to define agonist, partial agonist or antagonist activity of compds. with affinity for 5-HG1B receptors.

L9 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:545830 CAPLUS

DOCUMENT NUMBER: 113:145830

ORIGINAL REFERENCE NO.: 113:24613a,24616a

TITLE: Analysis of the 5-HT receptor in rabbit saphenous vein exemplifies the problems of using exclusion criteria for receptor classification

AUTHOR(S): Martin, G. R.; MacLennan, S. J.

CORPORATE SOURCE: Anal. Pharmacol. Group, Wellcome Res. Lab., Beckenham/Kent, BR3 3BS, UK

SOURCE: Naunyn-Schmiedeberg's Archives of Pharmacology (1990), 342(2), 111-19
CODEN: NSAPCC; ISSN: 0028-1298

DOCUMENT TYPE: Journal

LANGUAGE: English

AB 5-Hydroxytrptamine (5-HT) contracts ring prepns. of rabbit saphenous vein via direct and indirect components, the latter being compatible with a tyramine-like action at sympathetic nerve terminals. An attempt was made to establish the identity of the receptor mediating contraction directly, in terms of the currently accepted proposals

(Bradley et al. 1986). Results with agonists suggested 5-HT1-like receptor activation. The agonist potency order was 5-carboxamidotryptamine (5-CT) > 5-HT > methysergide ≥ GR43175, the same as that reported at the 5-HT1-like receptor in dog saphenous vein. Consistent with this, 5-HT effects were resistant to blockade by the selective 5-HT3 receptor antagonist MDL72222. In contrast, methiothepin, ketanserin, and spiperone each produced surmountable antagonism which implied 5-HT2 receptor involvement. The possibility that these discrepancies resulted from mixed populations of 5-HT1-like and 5-HT2 receptors was excluded. Thus, the 5-HT receptor in rabbit saphenous vein shares features in common with, and may be identical to, the 5-HT1-like receptor in dog saphenous vein. However, unlike the latter, it demonstrates qualities evident in both 5-HT1-like and 5-HT2 receptors; for this reason it fails to meet the currently accepted criteria for admission into any of the recognized classes. This sort of problem reflects the generally unreliable behavior of the available receptor antagonists and the emphasis which the Bradley et al. (1986) scheme places upon them for classification by exclusion. A complementary approach which provides a rigorous, quant. basis for receptor differentiation uses fingerprints comprising affinity and relative efficacy ests. for a set of tryptamines. The power and economy of this approach were illustrated by showing how affinity and relative efficacy fingerprints obtained using 5-HT, 5-CT, (±) α-methyl-5-HT, 5-methyltryptamine, and N,N-dimethyltryptamine establish a pos. identity for the 5-HT receptor in rabbit saphenous vein and at the same time enable it to be a distinguished from other 5-HT receptor types presently allocated to the 5-HT1-like, 5-HT2, and so-called orphan receptor classes.

L9 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1978:105055 CAPLUS
DOCUMENT NUMBER: 88:105055
ORIGINAL REFERENCE NO.: 88:16469a,16472a
TITLE: Indolizine derivatives with biological activity. III: 3-(3-Aminopropyl)-2-methylindolizine, 3-(3-Aminopropyl)-2-methyl-5,6,7,8-tetrahydroindolizine, and their N-alkyl derivatives
AUTHOR(S): Antonini, Ippolito; Cardellini, Mario; Claudi, Francesco; Franchetti, Palmarisa; Gulini, Ugo; De Caro, Giuseppe; Venturi, Fabrizio
CORPORATE SOURCE: Ist. Chim. Farm. Chim. Org., Univ. Camerino, Camerino, Italy
SOURCE: Journal of Pharmaceutical Sciences (1977), 66(12), 1692-6
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 88:105055
AB The syntheses and a preliminary pharmamcolog. evaluation of some aminopropylindolizines and aminopropyltetrahydroindolizines are reported. All compds. showed anti-5-hydroxytrptamine, antihistamine, and antiacetylcholine activities. Some also exhibited weak CNS activity.

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L8           25 S 5-HYDROXYTRPTAMINE
L9            4 S L8 AND ANTAGONIST
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